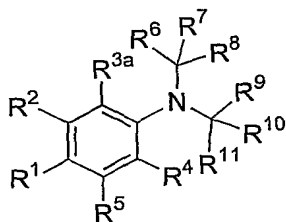


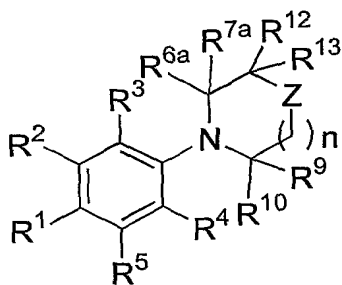
**WHAT IS CLAIMED IS:**

1. A compound having a structure selected from Formula I, Formula II, Formula III, Formula IV, Formula V, and Formula VI:

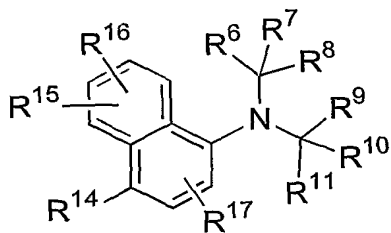
5



(I)

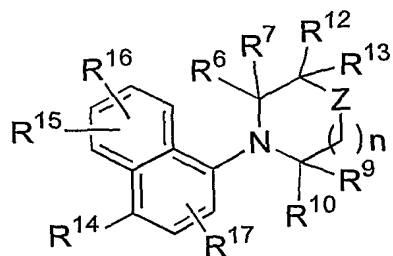


(II)

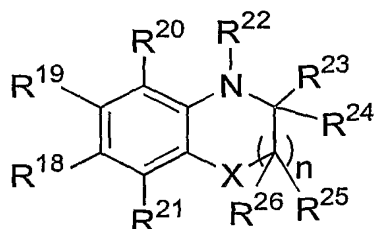


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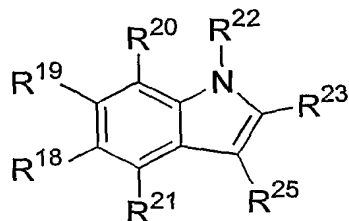
(III)



(IV)



(V)



(VI)

5 wherein:

$R^1$  and  $R^2$  are each independently selected from hydrogen, F, Cl, Br, I,  $OR^A$ ,  $SR^A$ ,  $NO_2$ , CN, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, an optionally substituted  $C_1$ - $C_4$  heteroalkyl,  $COR^A$ ,  $CO_2R^A$ ,  $CONR^A R^B$ ,  $SOR^A$ ,  $SO_2R^A$ , and  $SO_2NR^A R^B$ ,  $NHCOR^A$ , and

10  $NHCONR^A R^B$ , provided that at least one of  $R^1$  and  $R^2$  is not hydrogen;

$R^3$ ,  $R^{3a}$ ,  $R^4$ , and  $R^5$  are each independently selected from hydrogen, F, Cl,  $OR^A$ , an optionally substituted  $C_1$ - $C_4$  alkyl, and an optionally substituted  $C_1$ - $C_4$  haloalkyl;

15 wherein if  $R^1$  is  $NO_2$  and  $R^{3a}$  is F, then at least one of  $R^2$  and  $R^4$  and  $R^5$  is not hydrogen; and wherein if  $R^1$  is  $NO_2$  and  $R^3$  is F, then Z is not O;

$R^6$ ,  $R^7$ ,  $R^{10}$ , and  $R^{11}$  are each independently selected from hydrogen, an optionally substituted  $C_1$ - $C_6$  alkyl, an optionally substituted  $C_1$ - $C_6$  haloalkyl, an optionally substituted  $C_1$ - $C_6$  heteroalkyl, an optionally substituted  $C_2$ - $C_6$  alkynyl, and an optionally substituted  $C_2$ - $C_6$  alkenyl;

20  $R^{6a}$  and  $R^{7a}$  are each independently selected from hydrogen, an optionally substituted  $C_1$ - $C_6$  alkyl, an optionally substituted  $C_1$ - $C_6$  haloalkyl, an optionally substituted  $C_1$ - $C_6$  heteroalkyl, an optionally substituted  $C_2$ - $C_6$  alkynyl, and an optionally substituted  $C_2$ - $C_6$  alkenyl; or  $R^{6a}$  and  $R^{7a}$  together form a carbonyl;

$R^8$  and  $R^9$  are each independently selected from hydrogen, an optionally substituted  $C_1$ - $C_8$  alkyl, an optionally substituted  $C_2$ - $C_8$  alkenyl, an optionally substituted  $C_1$ - $C_8$  haloalkyl, an optionally substituted  $C_2$ - $C_8$  haloalkenyl,  $C_1$ - $C_8$  heteroalkyl, an optionally substituted  $C_2$ - $C_8$  heteroalkenyl, an optionally substituted  $C_2$ - $C_8$  alkynyl, an optionally substituted  $C_2$ - $C_8$  haloalkynyl, an optionally substituted  $C_2$ - $C_8$  heteroalkynyl, an optionally substituted aryl, an optionally substituted heteroaryl,  $CH(R^D)OR^A$ ,  $CH(R^D)NR^A R^B$ , and  $(CH_2)_m R^C$ ;

$R^{12}$  and  $R^{13}$  are each independently selected from hydrogen, F, Cl,  $OR^A$ ,  $NR^A R^B$ ,  $SR^A$ , an optionally substituted  $C_1$ - $C_6$  alkyl, an optionally substituted  $C_1$ - $C_6$  haloalkyl, an optionally substituted  $C_1$ - $C_6$  heteroalkyl, an optionally substituted  $C_2$ - $C_6$  alkynyl, an optionally substituted  $C_2$ - $C_6$  alkenyl, and  $(CH_2)_m R^C$ ;

$R^{14}$  and  $R^{15}$  are each independently selected from hydrogen, F, Cl, Br, I,  $OR^A$ ,  $SR^A$ ,  $NO_2$ , CN, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, an optionally substituted  $C_1$ - $C_4$  heteroalkyl,  $NHCO R^A$ ,  $NHCONR^A R^B$ ,  $COR^A$ ,  $CO_2 R^A$ ,  $CONR^A R^B$ ,  $SOR^A$ ,  $SO_2 R^A$ , and  $SO_2 NR^A R^B$ ;

$R^{16}$  and  $R^{17}$  are each independently selected from hydrogen, F, Cl,  $OR^A$ , an optionally substituted  $C_1$ - $C_4$  alkyl, and an optionally substituted  $C_1$ - $C_4$  haloalkyl;

$R^{18}$  and  $R^{19}$  are each independently selected from hydrogen, F, Cl, Br, I,  $OR^A$ ,  $SR^A$ ,  $NO_2$ , CN, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, an optionally substituted  $C_1$ - $C_4$  heteroalkyl,  $NHCO R^A$ ,  $NHCONR^A R^B$ ,  $COR^A$ ,  $CO_2 R^A$ ,  $CONR^A R^B$ ,  $SOR^A$ ,  $SO_2 R^A$ , and  $SO_2 NR^A R^B$ ;

$R^{20}$  and  $R^{21}$  are each independently selected from hydrogen, F, Cl,  $OR^A$ , an optionally substituted  $C_1$ - $C_4$  alkyl, and an optionally substituted  $C_1$ - $C_4$  haloalkyl; wherein if  $R^{18}$  is  $NO_2$  and X is O, then at least one of  $R^{19}$ ,  $R^{20}$ , and  $R^{21}$  is not hydrogen, and wherein if  $R^{19}$  is  $NO_2$  and X is C, then at least one of  $R^{18}$ ,  $R^{20}$ , and  $R^{21}$  is not hydrogen;

$R^{22}$  is selected from hydrogen, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, an optionally substituted  $C_1$ - $C_4$  heteroalkyl,  $COR^6$ ,  $CO_2 R^A$ ,  $CONR^A R^B$ ,  $SO_2 R^A$ , an optionally substituted aryl, an optionally substituted heteroaryl,  $CH_2CH(R^D)OR^A$ ,  $CH_2CH(R^D)NR^A R^B$ , and  $(CH_2)_m R^C$ , wherein the optionally substituted aryl or optionally substituted heteroaryl is optionally substituted with a substituent selected from F, Cl, Br, I, CN,  $OR^A$ ,  $NO_2$ ,

- $\text{NR}^{\text{A}}\text{R}^{\text{B}}$ ,  $\text{SR}^{\text{A}}$ ,  $\text{SOR}^{\text{A}}$ ,  $\text{SO}_2\text{R}^{\text{A}}$ , an optionally substituted  $\text{C}_1\text{-C}_4$  alkyl, an optionally substituted  $\text{C}_1\text{-C}_4$  haloalkyl, and an optionally substituted  $\text{C}_1\text{-C}_4$  heteroalkyl;  
 $\text{R}^{23}$  and  $\text{R}^{24}$  are each independently selected from hydrogen, an optionally substituted  $\text{C}_1\text{-C}_8$  alkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  alkenyl, an optionally substituted  $\text{C}_1\text{-C}_8$  haloalkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  haloalkenyl, an optionally substituted  $\text{C}_1\text{-C}_8$  heteroalkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  heteroalkenyl, an optionally substituted  $\text{C}_2\text{-C}_8$  alkynyl, an optionally substituted  $\text{C}_2\text{-C}_8$  haloalkynyl, an optionally substituted  $\text{C}_2\text{-C}_8$  heteroalkynyl, an optionally substituted aryl, an optionally substituted heteroaryl,  $\text{CH}(\text{R}^{\text{D}})\text{OR}^{\text{A}}$ ,  $\text{CH}(\text{R}^{\text{D}})\text{NR}^{\text{A}}\text{R}^{\text{B}}$ , and  $(\text{CH}_2)_m\text{R}^{\text{C}}$ ; or  $\text{R}^{23}$  and  $\text{R}^{24}$  together form a carbonyl group, provided that if  $\text{R}^{18}$  is  $\text{NO}_2$  and  $\text{X}$  is  $\text{NH}$ , then  $\text{R}^{23}$  and  $\text{R}^{24}$  do not together form a carbonyl group;
- $\text{R}^{22}$  and  $\text{R}^{23}$  are optionally linked to form a ring;  
 $\text{R}^{23}$  and  $\text{R}^{25}$  are optionally linked to form a ring;  
 $\text{X}$  is selected from  $\text{O}$ ,  $\text{S}$ ,  $\text{CR}^{\text{A}}\text{R}^{\text{B}}$ ,  $\text{NR}^{\text{D}}$ , and a bond;
- wherein if  $\text{X}$  is  $\text{CR}^{\text{A}}\text{R}^{\text{B}}$  or a bond, then  $\text{R}^{25}$  and  $\text{R}^{26}$  are each independently selected from a halogen,  $\text{OR}^{\text{A}}$ ,  $\text{NR}^{\text{A}}\text{R}^{\text{B}}$ , hydrogen, an optionally substituted  $\text{C}_1\text{-C}_8$  alkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  alkenyl, an optionally substituted  $\text{C}_1\text{-C}_8$  haloalkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  haloalkenyl, an optionally substituted  $\text{C}_1\text{-C}_8$  heteroalkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  heteroalkenyl, an optionally substituted  $\text{C}_2\text{-C}_8$  alkynyl, an optionally substituted  $\text{C}_2\text{-C}_8$  haloalkynyl, an optionally substituted  $\text{C}_2\text{-C}_8$  heteroalkynyl, an optionally substituted aryl, an optionally substituted heteroaryl, and  $(\text{CH}_2)_m\text{R}^{\text{C}}$ ; or  $\text{R}^{25}$  and  $\text{R}^{26}$  together form a carbonyl group;
- and wherein if  $\text{X}$  is selected from  $\text{O}$ ,  $\text{S}$ , or  $\text{NR}^{\text{D}}$ , then  $\text{R}^{25}$  and  $\text{R}^{26}$  are each independently selected from hydrogen, an optionally substituted  $\text{C}_1\text{-C}_8$  alkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  alkenyl, an optionally substituted  $\text{C}_1\text{-C}_8$  haloalkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  haloalkenyl, an optionally substituted  $\text{C}_1\text{-C}_8$  heteroalkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  heteroalkenyl, an optionally substituted  $\text{C}_2\text{-C}_8$  alkynyl, an optionally substituted  $\text{C}_2\text{-C}_8$  haloalkynyl, an optionally substituted  $\text{C}_2\text{-C}_8$  heteroalkynyl, an optionally substituted aryl, an optionally substituted heteroaryl, and  $(\text{CH}_2)_m\text{R}^{\text{C}}$ ; or  $\text{R}^{25}$  and  $\text{R}^{26}$  together form a carbonyl group;

$R^A$  and  $R^B$  are each independently selected from hydrogen, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, and an optionally substituted  $C_1$ - $C_4$  heteroalkyl;

$R^C$  is selected from an optionally substituted aryl and an optionally substituted heteroaryl that is optionally with a substituent selected from F, Cl, Br, I, CN,  $OR^A$ ,  $NO_2$ ,  $NR^A R^B$ ,  $SR^A$ ,  $SOR^A$ ,  $SO_2 R^A$ , an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, and an optionally substituted  $C_1$ - $C_4$  heteroalkyl;

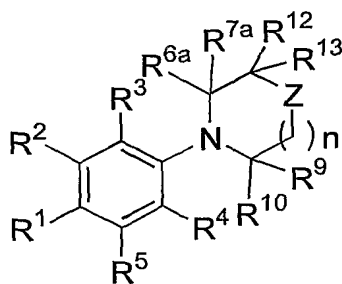
$R^D$  is selected from hydrogen, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, and an optionally substituted  $C_1$ - $C_4$  heteroalkyl;

Z is selected from O, S,  $CR^A R^B$ , and  $NR^D$ ;

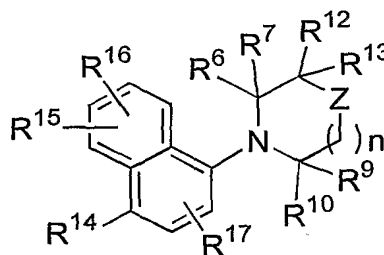
n is 0, 1, or 2; and

m is 1 or 2; or a pharmaceutically acceptable salt, ester, amide or prodrug thereof.

2. The compound of claim 1 having Formula II or Formula IV:



II or



IV,

wherein

$R^1$  and  $R^2$  are each independently selected from hydrogen, F, Cl, Br, I,  $OR^A$ ,  $SR^A$ ,  $NO_2$ , CN, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, an optionally substituted  $C_1$ - $C_4$  heteroalkyl,  $COR^A$ ,  $CO_2 R^A$ ,  $CONR^A R^B$ ,  $SOR^A$ ,  $SO_2 R^A$ , and  $SO_2 NR^A R^B$ ,  $NHCOR^A$ , and  $NHCONR^A R^B$ , provided that at least one of  $R^1$  and  $R^2$  is not hydrogen;

$R^3$ ,  $R^4$ , and  $R^5$  are each independently selected from hydrogen, F, Cl,  $OR^A$ , an optionally substituted  $C_1$ - $C_4$  alkyl, and an optionally substituted  $C_1$ - $C_4$  haloalkyl;

wherein if  $R^1$  is  $\text{NO}_2$  and  $R^3$  is F, then Z is not O;

$R^6$ ,  $R^7$ , and  $R^{10}$  are each independently selected from hydrogen, an optionally substituted  $\text{C}_1\text{-C}_6$  alkyl, an optionally substituted  $\text{C}_1\text{-C}_6$  haloalkyl, an optionally substituted  $\text{C}_1\text{-C}_6$  heteroalkyl, an optionally substituted  $\text{C}_1\text{-C}_6$  heterohaloalkyl, an optionally substituted  $\text{C}_2\text{-C}_6$  heterohaloalkenyl, an optionally substituted  $\text{C}_2\text{-C}_6$  heterohaloalkynyl, an optionally substituted  $\text{C}_2\text{-C}_6$  alkynyl, and an optionally substituted  $\text{C}_2\text{-C}_6$  alkenyl;

$R^{6a}$  and  $R^{7a}$  are each independently selected from hydrogen, an optionally substituted  $\text{C}_1\text{-C}_6$  alkyl, an optionally substituted  $\text{C}_1\text{-C}_6$  haloalkyl, an optionally substituted  $\text{C}_1\text{-C}_6$  heteroalkyl, an optionally substituted  $\text{C}_1\text{-C}_6$  heterohaloalkyl, an optionally substituted  $\text{C}_2\text{-C}_6$  heterohaloalkenyl, an optionally substituted  $\text{C}_2\text{-C}_6$  heterohaloalkynyl, an optionally substituted  $\text{C}_2\text{-C}_6$  alkynyl, and an optionally substituted  $\text{C}_2\text{-C}_6$  alkenyl; or  $R^{6a}$  and  $R^{7a}$  together form a carbonyl;

$R^9$  is selected from hydrogen, an optionally substituted  $\text{C}_1\text{-C}_8$  alkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  alkenyl, an optionally substituted  $\text{C}_1\text{-C}_8$  haloalkyl, an optionally substituted  $\text{C}_1\text{-C}_6$  heterohaloalkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  haloalkenyl,  $\text{C}_1\text{-C}_8$  heteroalkyl, an optionally substituted  $\text{C}_2\text{-C}_8$  heteroalkenyl, an optionally substituted  $\text{C}_2\text{-C}_8$  alkynyl, an optionally substituted  $\text{C}_2\text{-C}_8$  haloalkynyl, an optionally substituted  $\text{C}_2\text{-C}_8$  heteroalkynyl, an optionally substituted  $\text{C}_2\text{-C}_6$  heterohaloalkenyl, an optionally substituted  $\text{C}_2\text{-C}_6$  heterohaloalkynyl, an optionally substituted aryl, an optionally substituted heteroaryl,  $\text{CH}(\text{R}^D)\text{OR}^A$ ,  $\text{CH}(\text{R}^D)\text{NR}^A\text{R}^B$ ,  $\text{COR}^A$ ,  $\text{CO}_2\text{R}^A$  and  $(\text{CH}_2)_m\text{R}^C$ ;

$R^{12}$  and  $R^{13}$  are each independently selected from hydrogen, F, Cl,  $\text{OR}^A$ ,  $\text{NR}^A\text{R}^B$ ,  $\text{SR}^A$ , an optionally substituted  $\text{C}_1\text{-C}_6$  alkyl, an optionally substituted  $\text{C}_1\text{-C}_6$  haloalkyl, an optionally substituted  $\text{C}_1\text{-C}_6$  heteroalkyl, an optionally substituted  $\text{C}_1\text{-C}_6$  heterohaloalkyl, an optionally substituted  $\text{C}_2\text{-C}_6$  heterohaloalkenyl, an optionally substituted  $\text{C}_2\text{-C}_6$  heterohaloalkynyl, an optionally substituted  $\text{C}_2\text{-C}_6$  alkynyl, and  $(\text{CH}_2)_m\text{R}^C$ ;

$R^{14}$  and  $R^{15}$  are each independently selected from hydrogen, F, Cl, Br, I,  $\text{OR}^A$ ,  $\text{SR}^A$ ,  $\text{NO}_2$ , CN, an optionally substituted  $\text{C}_1\text{-C}_4$  alkyl, an optionally substituted  $\text{C}_1\text{-C}_4$  haloalkyl, an optionally substituted  $\text{C}_1\text{-C}_4$  heteroalkyl,  $\text{NHCOR}^A$ ,  $\text{NHCONR}^A\text{R}^B$ ,  $\text{COR}^A$ ,  $\text{CO}_2\text{R}^A$ ,  $\text{CONR}^A\text{R}^B$ ,  $\text{SOR}^A$ ,  $\text{SO}_2\text{R}^A$ , and  $\text{SO}_2\text{NR}^A\text{R}^B$ ;

R<sup>16</sup> and R<sup>17</sup> are each independently selected from hydrogen, F, Cl, OR<sup>A</sup>, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl;

R<sup>A</sup> and R<sup>B</sup> are each independently selected from hydrogen, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an

5 optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl;

R<sup>C</sup> is selected from an optionally substituted aryl and an optionally substituted heteroaryl that is optionally with a substituent selected from F, Cl, Br, I, CN, OR<sup>A</sup>, NO<sub>2</sub>, NR<sup>A</sup>R<sup>B</sup>, SR<sup>A</sup>, SOR<sup>A</sup>, SO<sub>2</sub>R<sup>A</sup>, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub>

10 heteroalkyl;

R<sup>D</sup> is selected from hydrogen, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl;

Z is selected from O, S, CR<sup>A</sup>R<sup>B</sup>, and NR<sup>D</sup>;

15 n is 0, 1, or 2; and

m is 1 or 2.

3. The compound of claims 1 or 2, wherein R<sup>1</sup> is selected from NO<sub>2</sub> and CN.

4. The compound of any of claims 1-3, wherein R<sup>1</sup> is NO<sub>2</sub>.

20 5. The compound of any of claims 1-3, wherein R<sup>1</sup> is CN.

6. The compound of any of claims 1-5, wherein R<sup>2</sup> is an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl or an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl.

7. The compound of any of claims 1-6, wherein R<sup>2</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or trifluoromethyl.

25 8. The compound of any of claims 1-7, wherein R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> are each independently selected from hydrogen, F, Cl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl.

9. The compound of any of claims 1-8, wherein R<sup>3</sup> is hydrogen.

10. The compound of any of claims 1-8, wherein R<sup>4</sup> is hydrogen.

30 11. The compound of any of claims 1-8, wherein R<sup>5</sup> is hydrogen.

12. The compound of any of claims 1-11, wherein R<sup>6a</sup> and R<sup>7a</sup> are each independently selected from hydrogen, an optionally substituted C<sub>1</sub>-C<sub>6</sub> alkyl, and

an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl or R<sup>6a</sup> and R<sup>7a</sup> together form a carbonyl.

13. The compound of any of claims 1-12, wherein R<sup>6a</sup> is hydrogen.

14. The compound of any of claims 1-12, wherein R<sup>7a</sup> is hydrogen or an optionally substituted C<sub>1</sub>-C<sub>6</sub> alkyl.

15. The compound of any of claims 1-12 and 14, wherein R<sup>7a</sup> is hydrogen or methyl.

16. The compound of any of claims 1-12 and 14-15, wherein R<sup>7a</sup> is hydrogen.

17. The compound of any of claims 1-12, wherein R<sup>7a</sup> is methyl.

18. The compound of any of claims 1-12 and 14-15, wherein R<sup>6a</sup> and R<sup>7a</sup> together form a carbonyl.

19. The compound of any of claims 1-18, wherein R<sup>10</sup> is selected from hydrogen, F, Cl, OR<sup>A</sup>, an optionally substituted C<sub>1</sub>-C<sub>6</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl and (CH<sub>2</sub>)<sub>m</sub>R<sup>C</sup>.

20. The compound of any of claims 1-18, wherein R<sup>10</sup> is hydrogen.

21. The compound of any of claims 1-20, wherein R<sup>9</sup> is selected from hydrogen, F, Cl, Br, I, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl, COR<sup>A</sup>, CO<sub>2</sub>R<sup>A</sup>, CH(R<sup>D</sup>)OR<sup>A</sup>, and CH(R<sup>D</sup>)NR<sup>A</sup>R<sup>B</sup>.

22. The compound of any of claims 1-21, wherein R<sup>9</sup> is hydrogen, formyl, hydroxy C<sub>1</sub>-C<sub>6</sub>alkyl, hydroxyhalo C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylsilyloxy C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl, amino C<sub>1</sub>-C<sub>6</sub>alkyl, carboxy, or C<sub>1</sub>-C<sub>6</sub>alkylcarbonyloxyC<sub>1</sub>-C<sub>6</sub>alkyl.

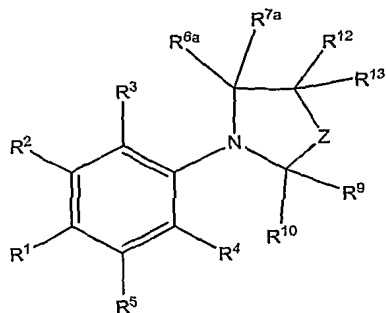
23. The compound of any of claims 1-22, wherein R<sup>9</sup> is hydrogen, formyl, hydroxymethyl, 1-hydroxy-2,2,2-trifluoroethyl, tributylsilyloxymethyl, ethoxycarbonyl, aminomethyl, carboxy, or acetyloxymethyl.

24. The compound of any of claims 1-23, wherein R<sup>12</sup> and R<sup>13</sup> are each independently selected from hydrogen, F, Cl, OR<sup>A</sup>, an optionally substituted C<sub>1</sub>-C<sub>6</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl and (CH<sub>2</sub>)<sub>m</sub>R<sup>C</sup>.

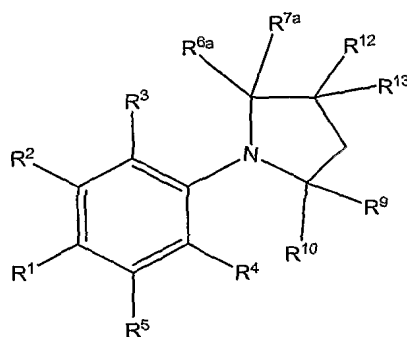
25. The compound of any of claims 1-24, wherein R<sup>13</sup> is hydrogen, F, OH or benzyl.



26. The compound of any of claims 1-25, wherein the compound has formula IIA:



27. The compound of any of claims 1-26, wherein the compound has  
5 formula IIB:



28. The compound of any of claims 1-27, wherein R<sup>1</sup> is selected from  
NO<sub>2</sub> and CN;

10 R<sup>2</sup> is hydrogen, optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl or an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl;

R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> are each independently selected from hydrogen, F, Cl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

15 R<sup>6a</sup> and R<sup>7a</sup> are each independently selected from hydrogen and an optionally substituted C<sub>1</sub>-C<sub>6</sub> alkyl; an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl, or R<sup>6a</sup> and R<sup>7a</sup> together form a carbonyl;

R<sup>9</sup> is selected from hydrogen, F, Cl, Br, I, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl, COR<sup>A</sup>, CO<sub>2</sub>R<sup>A</sup>, CH(R<sup>D</sup>)OR<sup>A</sup>, and CH(R<sup>D</sup>)NR<sup>A</sup>R<sup>B</sup>;

20 R<sup>10</sup> is hydrogen; and

R<sup>12</sup> and R<sup>13</sup> are each independently selected from hydrogen, F, Cl, OR<sup>A</sup>, an optionally substituted C<sub>1</sub>-C<sub>6</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl and (CH<sub>2</sub>)<sub>m</sub>R<sup>C</sup>.

29. The compound of any of claims 1-28, wherein R<sup>1</sup> is selected from  
5 NO<sub>2</sub> and CN;

$R^2$  is hydrogen or trifluoromethyl;

$R^3, R^4,$  are  $R^5$  each hydrogen;

R<sup>7a</sup> is hydrogen or methyl and R<sup>6a</sup> is hydrogen; or R<sup>6a</sup> and R<sup>7a</sup> together form a carbonyl;

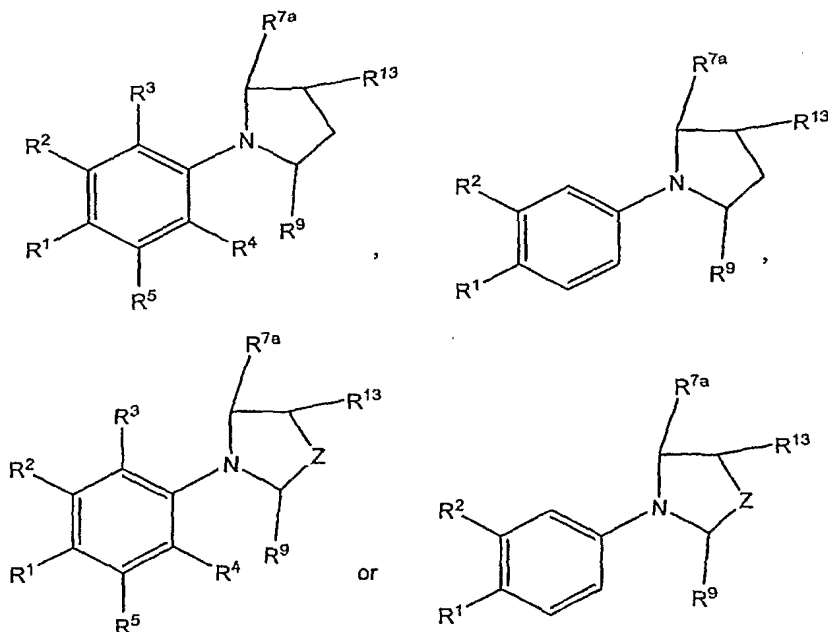
10 R<sup>9</sup> is selected from hydrogen, formyl, hydroxymethyl, 1-hydroxy-2,2,2-trifluoroethyl, tributylsilyloxymethyl, ethoxycarbonyl, aminomethyl, carboxy, and acetyloxymethyl

R<sup>10</sup> is hydrogen;

$R^{12}$  is hydrogen; and

15 R<sup>13</sup> is selected from hydrogen, F, OH and benzyl.

30. The compound of claim 1, wherein the compound has formula:



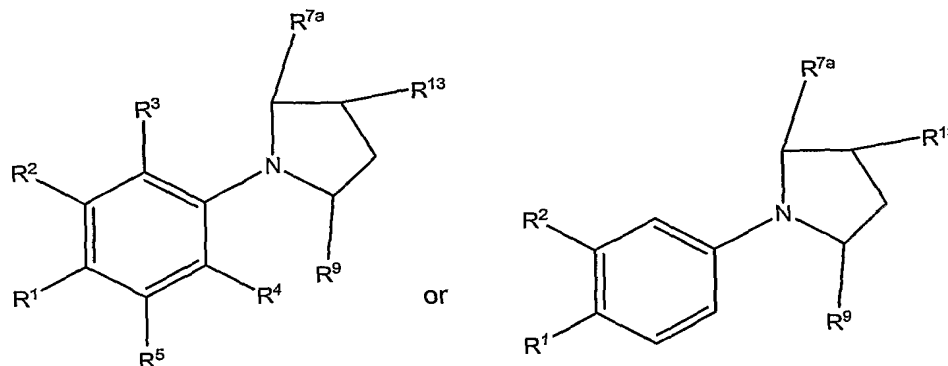
31. The compound of claim 30, wherein R<sup>7a</sup> is an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl.

20            32.    The compound of claim 30, wherein R<sup>9</sup> is an optionally substituted

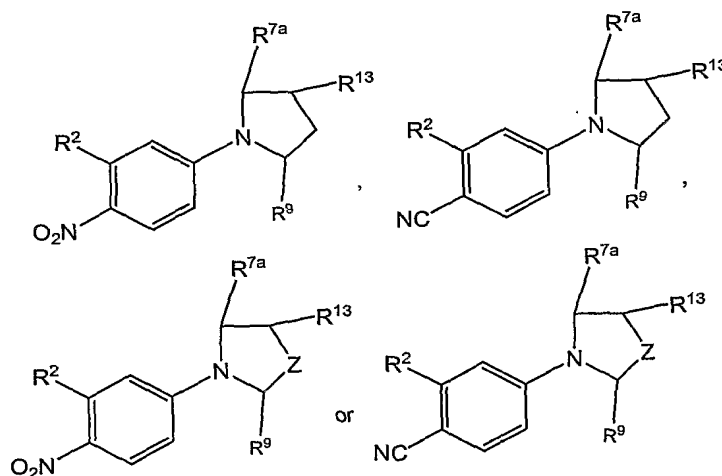
C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl.

33. The compound of claim 30, wherein R<sup>13</sup> is an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl.

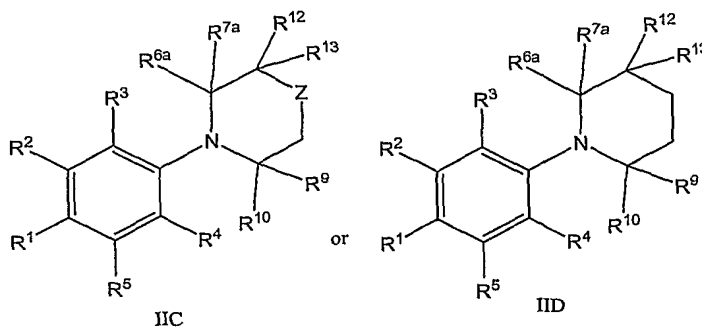
34. The compound of claim 30, wherein the compound has formula:



35. The compound of claim 30, wherein the compound has formula:



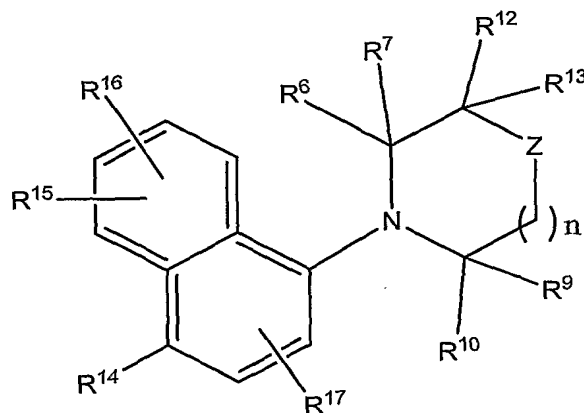
36. The compound of any of claims 1-25, wherein the compound has Formula IIC or Formula IID:



37. The compound of claim 36, wherein  $R^1$  is  $\text{NO}_2$ ;  $R_2$  is hydrogen or haloalkyl;  $R^3, R^4, R^5, R^{6a}, R^{7a}, R^9, R^{12}$ , and  $R^{13}$  are each hydrogen; and  $R^9$  is selected from  $\text{CO}_2R^A$ ,  $\text{CH}(R^D)\text{OR}^A$ , and  $\text{CH}(R^D)\text{NR}^A\text{R}^B$ .

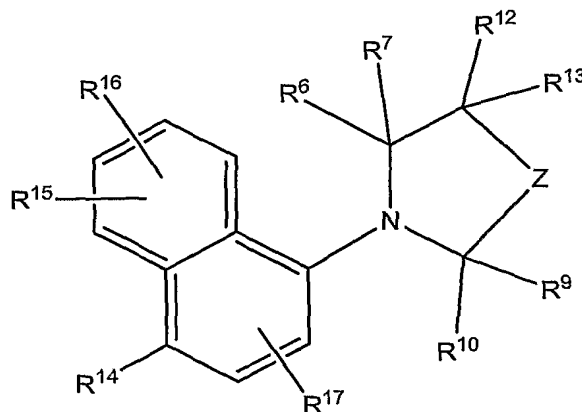
38. The compound of any of claims 36 or 37, wherein  $R^1$  is  $\text{NO}_2$ ;  $R_2$  is hydrogen or trifluoromethyl;  $R^3, R^4, R^5, R^{6a}, R^{7a}, R^9, R^{12}$ , and  $R^{13}$  are each hydrogen; and  $R^9$  is selected from hydroxymethyl, ethoxycarbonyl and acetyloxymethyl.

39. The compound of any of claims 1-2, wherein the compound has formula:



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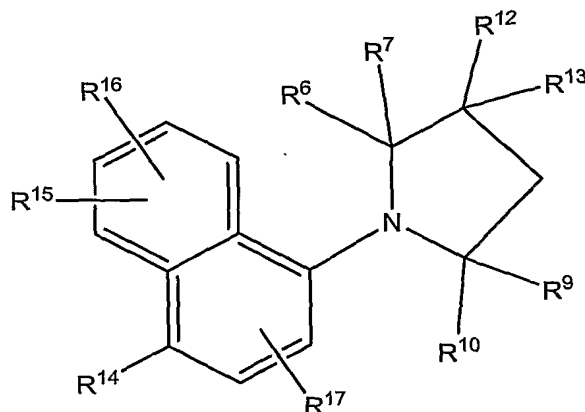
40. The compound of any of claims 1-2 and 39, wherein the compound has formula:



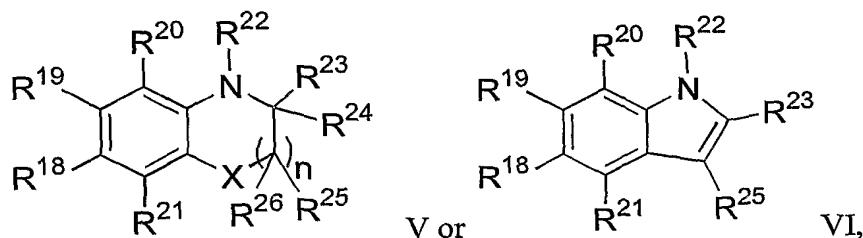
41. The compound of any of claims 1-2, 39 and 40, wherein the compound has formula:

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42. The compound of claim 1, wherein the compound has Formula V or Formula VI:



wherein  $R^{18}$  and  $R^{19}$  are each independently selected from hydrogen, F, Cl, Br, I,  $OR^A$ ,  $SR^A$ ,  $NO_2$ , CN, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, an optionally substituted  $C_1$ - $C_4$  heteroalkyl,  $NHCO R^A$ ,  $NHCONR^A R^B$ ,  $COR^A$ ,  $CO_2 R^A$ ,  $CONR^A R^B$ ,  $SOR^A$ ,  $SO_2 R^A$ , and  $SO_2 NR^A R^B$ ;

$R^{20}$  and  $R^{21}$  are each independently selected from hydrogen, F, Cl,  $OR^A$ , an optionally substituted  $C_1$ - $C_4$  alkyl, and an optionally substituted  $C_1$ - $C_4$  haloalkyl; wherein if  $R^{18}$  is  $NO_2$  and X is O, then at least one of  $R^{19}$ ,  $R^{20}$ , and  $R^{21}$  is not hydrogen, and wherein if  $R^{19}$  is  $NO_2$  and X is C, then at least one of  $R^{18}$ ,  $R^{20}$ , and  $R^{21}$  is not hydrogen;

$R^{22}$  is selected from hydrogen, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, an optionally substituted  $C_1$ - $C_4$  heteroalkyl, an optionally substituted  $C_1$ - $C_4$  heterohaloalkyl, an optionally substituted  $C_2$ - $C_6$  heterohaloalkenyl, an optionally substituted  $C_2$ - $C_6$  heterohaloalkynyl,  $COR^6$ ,  $CO_2 R^A$ ,  $CONR^A R^B$ ,  $SO_2 R^A$ , an optionally substituted aryl, an optionally substituted heteroaryl,  $CH_2CH(R^D)OR^A$ ,  $CH_2CH(R^D)NR^A R^B$ , and  $(CH_2)_m R^C$ ,

wherein the optionally substituted aryl or optionally substituted heteroaryl is optionally substituted with a substituent selected from F, Cl, Br, I, CN, OR<sup>A</sup>, NO<sub>2</sub>, NR<sup>A</sup>R<sup>B</sup>, SR<sup>A</sup>, SOR<sup>A</sup>, SO<sub>2</sub>R<sup>A</sup>, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl;

5           R<sup>23</sup> and R<sup>24</sup> are each independently selected from hydrogen, an optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> alkenyl, an optionally substituted C<sub>1</sub>-C<sub>8</sub> haloalkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkenyl, an optionally substituted C<sub>1</sub>-C<sub>8</sub> heteroalkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkenyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> alkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heterohaloalkenyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heterohaloalkynyl, an optionally substituted aryl, an optionally substituted heteroaryl, CH(R<sup>D</sup>)OR<sup>A</sup>, CH(R<sup>D</sup>)NR<sup>A</sup>R<sup>B</sup>, and (CH<sub>2</sub>)<sub>m</sub>R<sup>C</sup>; or R<sup>23</sup> and R<sup>24</sup> together form a carbonyl group, provided that if R<sup>18</sup> is NO<sub>2</sub> and X is NH, then R<sup>23</sup> and R<sup>24</sup>  
10           do not together form a carbonyl group; or

          R<sup>22</sup> and R<sup>23</sup> are optionally linked to form a ring; or

          R<sup>23</sup> and R<sup>25</sup> are optionally linked to form a ring;

          R<sup>25</sup> is selected from a halogen, OR<sup>A</sup>, NR<sup>A</sup>R<sup>B</sup>, hydrogen, an optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> alkenyl, an optionally substituted C<sub>1</sub>-C<sub>8</sub> haloalkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkenyl, an optionally substituted C<sub>1</sub>-C<sub>8</sub> heteroalkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkenyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> alkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heterohaloalkenyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heterohaloalkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkynyl,  
20           an optionally substituted aryl, an optionally substituted heteroaryl, and (CH<sub>2</sub>)<sub>m</sub>R<sup>C</sup>;

          X is selected from O, S, CR<sup>A</sup>R<sup>B</sup>, NR<sup>D</sup>, and a bond;

          wherein if X is CR<sup>A</sup>R<sup>B</sup> or a bond, then R<sup>25</sup> and R<sup>26</sup> are each independently selected from a halogen, OR<sup>A</sup>, NR<sup>A</sup>R<sup>B</sup>, hydrogen, an optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> alkenyl, an optionally substituted C<sub>1</sub>-C<sub>8</sub> haloalkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkenyl, an optionally substituted C<sub>1</sub>-C<sub>8</sub> heteroalkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkenyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> alkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkynyl, an  
30           substituted C<sub>2</sub>-C<sub>8</sub> heterohaloalkenyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heterohaloalkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkenyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkynyl, an

optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkynyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl, an optionally substituted C<sub>2</sub>-C<sub>6</sub> heterohaloalkenyl, an optionally substituted C<sub>2</sub>-C<sub>6</sub> heterohaloalkynyl, an optionally substituted aryl, an optionally substituted heteroaryl, and (CH<sub>2</sub>)<sub>m</sub>R<sup>C</sup>; or R<sup>25</sup> and R<sup>26</sup> together form a carbonyl group;

5 and wherein if X is O, S, or NR<sup>D</sup>, then R<sup>25</sup> and R<sup>26</sup> are each independently selected from hydrogen, an optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> alkenyl, an optionally substituted C<sub>1</sub>-C<sub>8</sub> haloalkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkenyl, an optionally substituted C<sub>1</sub>-C<sub>8</sub> heteroalkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkenyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> alkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkynyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl, an optionally substituted C<sub>2</sub>-C<sub>6</sub> heterohaloalkenyl, an optionally substituted C<sub>2</sub>-C<sub>6</sub> heterohaloalkynyl, an optionally substituted aryl, an optionally substituted heteroaryl, and (CH<sub>2</sub>)<sub>m</sub>R<sup>C</sup>; or R<sup>25</sup> and R<sup>26</sup> together form a carbonyl group;

10 R<sup>A</sup> and R<sup>B</sup> are each independently selected from hydrogen, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl;

15 R<sup>C</sup> is selected from an optionally substituted aryl and an optionally substituted heteroaryl that is optionally with a substituent selected from F, Cl, Br, I, CN, OR<sup>A</sup>, NO<sub>2</sub>, NR<sup>A</sup>R<sup>B</sup>, SR<sup>A</sup>, SOR<sup>A</sup>, SO<sub>2</sub>R<sup>A</sup>, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl;

20 R<sup>D</sup> is selected from hydrogen, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl;

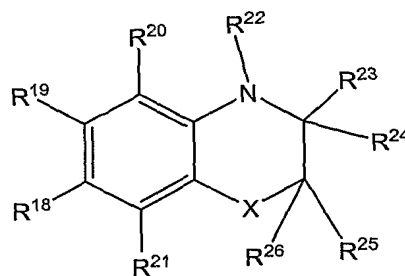
Z is selected from O, S, CR<sup>A</sup>R<sup>B</sup>, and NR<sup>D</sup>;

n is 0, 1, or 2; and

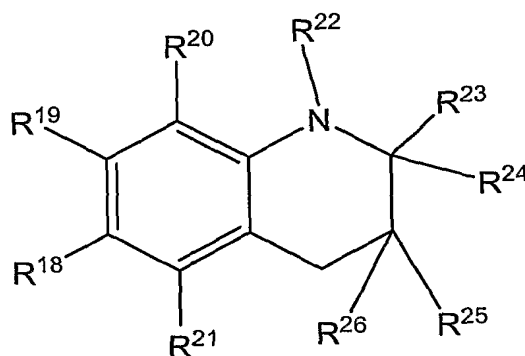
m is 1 or 2.

30 43. The compound of claims 1 or 42, wherein the compound has Formula VI:

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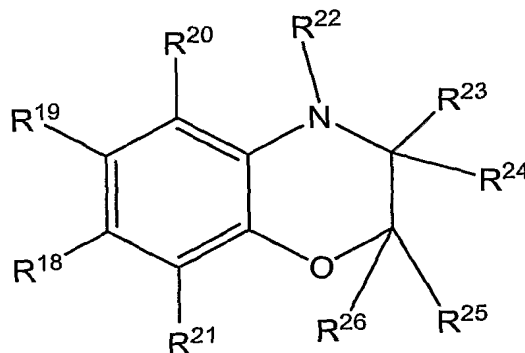


44. The compound of any of claims 1, and 42-43, wherein the compound has Formula:



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45. The compound of any of claims 1 or 43, wherein the compound has Formula V:



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46. The compound of any of claims 1 and 42-45, wherein  $R^{18}$  and  $R^{19}$  are each independently selected from hydrogen,  $\text{NO}_2$ , and an optionally substituted  $\text{C}_1\text{-C}_4$  alkyl;  $R^{22}$  is hydrogen, an optionally substituted  $\text{C}_1\text{-C}_4$  alkyl and an optionally substituted  $\text{C}_1\text{-C}_4$  haloalkyl;  $R^{23}$  and  $R^{24}$  are each independently



hydrogen or an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl; and R<sup>25</sup> and R<sup>26</sup> are each hydrogen.

47. The compound of any of claims 1 and 42-46, wherein R<sup>18</sup> is NO<sub>2</sub>.

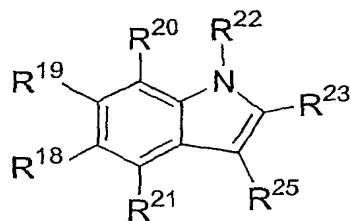
48. The compound of any of claims 1 and 42-46, wherein R<sup>19</sup> is NO<sub>2</sub>.

5 49. The compound of any of claims 1 and 42-45, wherein R<sup>22</sup> is hydrogen, heterohaloalkyl or haloalkyl.

50. The compound of any of claims 1, 42-46 and 49, wherein R<sup>22</sup> is hydrogen or 2,2,2-trifluoroethyl.

51. The compound of any of claims 1 and 42-46, wherein R<sup>23</sup> and R<sup>24</sup>  
10 are each independently hydrogen or methyl.

52. The compound of claim 1, wherein the compound has Formula VI:



53. The compound of claim 52, wherein R<sup>18</sup> is NO<sub>2</sub>.

54. The compound of claim 53, wherein R<sup>19</sup> is NO<sub>2</sub>.

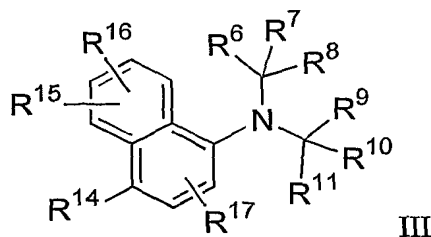
15 55. The compound of claim 52, wherein R<sup>22</sup> is hydrogen, haloalkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl or an optionally substituted C<sub>1</sub>-C<sub>4</sub> heterohaloalkyl.

56. The compound of any of claims 52 or 55, wherein R<sup>22</sup> is hydrogen or 2,2,2-trifluoroethyl.

20 57. The compound of claim 52, wherein R<sup>23</sup> is hydrogen, an optionally substituted aryl, an optionally substituted heteroaryl or an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl.

58. The compound of any of claims 1 or 52, wherein R<sup>25</sup> is hydrogen, methyl or methoxyphenyl.

25 59. The compound of claim 1, wherein the compound has Formula III:



where  $R^1$  and  $R^2$  are each independently selected from hydrogen, F, Cl, Br, I,  $OR^A$ ,  $SR^A$ ,  $NO_2$ , CN, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally substituted  $C_1$ - $C_4$  haloalkyl, an optionally substituted  $C_1$ - $C_4$  heteroalkyl,  $COR^A$ ,  $CO_2R^A$ ,  $CONR^AR^B$ ,  $SOR^A$ ,  $SO_2R^A$ , and  $SO_2NR^AR^B$ ,  $NHCOR^A$ , and  $NHCONR^AR^B$ , provided that at least one of  $R^1$  and  $R^2$  is not hydrogen;

$R^{3a}$ ,  $R^4$ , and  $R^5$  are each independently selected from hydrogen, F, Cl,  $OR^A$ , an optionally substituted  $C_1$ - $C_4$  alkyl, and an optionally substituted  $C_1$ - $C_4$  haloalkyl;

wherein if  $R^1$  is  $NO_2$  and  $R^{3a}$  is F, then at least one of  $R^2$  and  $R^4$  and  $R^5$  is not hydrogen;

$R^6$ ,  $R^7$ ,  $R^{10}$ , and  $R^{11}$  are each independently selected from hydrogen, an optionally substituted  $C_1$ - $C_6$  alkyl, an optionally substituted  $C_1$ - $C_6$  haloalkyl, an optionally substituted  $C_1$ - $C_6$  heteroalkyl, an optionally substituted  $C_1$ - $C_6$  heterohaloalkyl, an optionally substituted  $C_2$ - $C_6$  heterohaloalkenyl, an optionally substituted  $C_2$ - $C_6$  heterohaloalkynyl, an optionally substituted  $C_2$ - $C_6$  alkynyl, and an optionally substituted  $C_2$ - $C_6$  alkenyl;

$R^8$  and  $R^9$  are each independently selected from hydrogen, an optionally substituted  $C_1$ - $C_8$  alkyl, an optionally substituted  $C_2$ - $C_8$  alkenyl, an optionally substituted  $C_1$ - $C_8$  haloalkyl, an optionally substituted  $C_2$ - $C_8$  haloalkenyl,  $C_1$ - $C_8$  heteroalkyl, an optionally substituted  $C_2$ - $C_8$  heteroalkenyl, an optionally substituted  $C_2$ - $C_8$  alkynyl, an optionally substituted  $C_2$ - $C_8$  haloalkynyl, an optionally substituted  $C_2$ - $C_8$  heteroalkynyl, an optionally substituted  $C_1$ - $C_6$  heterohaloalkyl, an optionally substituted  $C_2$ - $C_6$  heterohaloalkenyl, an optionally substituted  $C_2$ - $C_6$  heterohaloalkynyl, an optionally substituted aryl, an optionally substituted heteroaryl,  $CH(R^D)OR^A$ ,  $CH(R^D)NR^AR^B$ , and  $(CH_2)_mR^C$ ;

$R^{14}$  and  $R^{15}$  are each independently selected from hydrogen, F, Cl, Br, I,  $OR^A$ ,  $SR^A$ ,  $NO_2$ , CN, an optionally substituted  $C_1$ - $C_4$  alkyl, an optionally

substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl, NHCOR<sup>A</sup>, NHCONR<sup>A</sup>R<sup>B</sup>, COR<sup>A</sup>, CO<sub>2</sub>R<sup>A</sup>, CONR<sup>A</sup>R<sup>B</sup>, SOR<sup>A</sup>, SO<sub>2</sub>R<sup>A</sup>, and SO<sub>2</sub>NR<sup>A</sup>R<sup>B</sup>;

R<sup>16</sup> and R<sup>17</sup> are each independently selected from hydrogen, F, Cl, OR<sup>A</sup>, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl;

5 R<sup>A</sup> and R<sup>B</sup> are each independently selected from hydrogen, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl;

R<sup>C</sup> is selected from an optionally substituted aryl and an optionally substituted heteroaryl that is optionally with a substituent selected from F, Cl, Br, I, 10 CN, OR<sup>A</sup>, NO<sub>2</sub>, NR<sup>A</sup>R<sup>B</sup>, SR<sup>A</sup>, SOR<sup>A</sup>, SO<sub>2</sub>R<sup>A</sup>, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl;

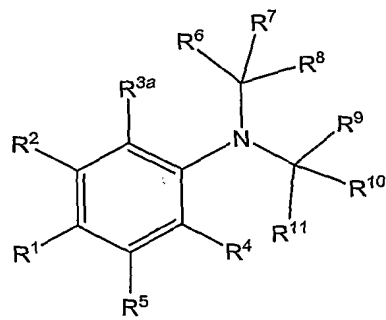
R<sup>D</sup> is selected from hydrogen, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> 15 heteroalkyl; and

m is 1 or 2;

wherein the compound is selected with a proviso that at least one of R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, and R<sup>11</sup> is other than hydrogen and at least one of R<sup>8</sup> and R<sup>9</sup> is other than hydrogen, alkyl, haloalkyl, alkenyl, and alkynyl.

20 60. The compound of claim 59, wherein the compound is selected with a proviso that at least one of R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, and R<sup>11</sup> is other than hydrogen and at least one of R<sup>8</sup> and R<sup>9</sup> is heterohaloalkyl.

61. The compound of claim 1, wherein the compound has Formula I:



25 wherein R<sup>1</sup> and R<sup>2</sup> are each independently selected from hydrogen, F, Cl, Br, I, OR<sup>A</sup>, SR<sup>A</sup>, NO<sub>2</sub>, CN, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally

substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl, COR<sup>A</sup>, CO<sub>2</sub>R<sup>A</sup>, CONR<sup>A</sup>R<sup>B</sup>, SOR<sup>A</sup>, SO<sub>2</sub>R<sup>A</sup>, and SO<sub>2</sub>NR<sup>A</sup>R<sup>B</sup>, NHCOR<sup>A</sup>, and NHCONR<sup>A</sup>R<sup>B</sup>, provided that at least one of R<sup>1</sup> and R<sup>2</sup> is not hydrogen;

R<sup>3a</sup>, R<sup>4</sup>, and R<sup>5</sup> are each independently selected from hydrogen, F, Cl, OR<sup>A</sup>,  
5 an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl;

wherein if R<sup>1</sup> is NO<sub>2</sub> and R<sup>3a</sup> is F, then at least one of R<sup>2</sup> and R<sup>4</sup> and R<sup>5</sup> is not hydrogen;

R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, and R<sup>11</sup> are each independently selected from hydrogen, an  
10 optionally substituted C<sub>1</sub>-C<sub>6</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> haloalkyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> heteroalkyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl, an optionally substituted C<sub>2</sub>-C<sub>6</sub> heterohaloalkenyl, an optionally substituted C<sub>2</sub>-C<sub>6</sub> heterohaloalkynyl, an optionally substituted C<sub>2</sub>-C<sub>6</sub> alkynyl, and an optionally substituted C<sub>2</sub>-C<sub>6</sub> alkenyl;

R<sup>8</sup> and R<sup>9</sup> are each independently selected from hydrogen, an optionally  
15 substituted C<sub>1</sub>-C<sub>8</sub> alkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> alkenyl, an optionally substituted C<sub>1</sub>-C<sub>8</sub> haloalkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkenyl, C<sub>1</sub>-C<sub>8</sub> heteroalkyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkenyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> alkynyl, an optionally substituted C<sub>2</sub>-C<sub>8</sub> haloalkynyl, an  
20 optionally substituted C<sub>2</sub>-C<sub>8</sub> heteroalkynyl, an optionally substituted C<sub>1</sub>-C<sub>6</sub> heterohaloalkyl, an optionally substituted C<sub>2</sub>-C<sub>6</sub> heterohaloalkenyl, an optionally substituted C<sub>2</sub>-C<sub>6</sub> heterohaloalkynyl, an optionally substituted aryl, an optionally substituted heteroaryl, CH(R<sup>D</sup>)OR<sup>A</sup>, CH(R<sup>D</sup>)NR<sup>A</sup>R<sup>B</sup>, and (CH<sub>2</sub>)<sub>m</sub>R<sup>C</sup>;

R<sup>A</sup> and R<sup>B</sup> are each independently selected from hydrogen, an optionally  
25 substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl;

R<sup>C</sup> is selected from an optionally substituted aryl and an optionally  
substituted heteroaryl that is optionally with a substituent selected from F, Cl, Br, I, CN, OR<sup>A</sup>, NO<sub>2</sub>, NR<sup>A</sup>R<sup>B</sup>, SR<sup>A</sup>, SOR<sup>A</sup>, SO<sub>2</sub>R<sup>A</sup>, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl,  
30 an optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl;

R<sup>D</sup> is selected from hydrogen, an optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl, an

optionally substituted C<sub>1</sub>-C<sub>4</sub> haloalkyl, and an optionally substituted C<sub>1</sub>-C<sub>4</sub> heteroalkyl; and

m is 1 or 2,

the compound is selected with a proviso that at least one of R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, and  
5 R<sup>11</sup> is other than hydrogen and at least one of R<sup>8</sup> and R<sup>9</sup> is heterohaloalkyl.

62. A compound according to claim 1, wherein the compound is selected from the group of:

- N,N*-bis(2,2,2-trifluoroethyl)-3-methyl-4-nitroaniline (compound 101);  
*N,N*-bis(2,2,2-trifluoroethyl)-4-nitroaniline (compound 102);  
10 4-(Bis(2,2,2-trifluoroethyl)amino)-2-(trifluoromethyl)benzonitrile (compound 103);  
(5*R*)-*N*-(4-nitrophenyl)-5-(dimethyl-*tert*-butylsilyloxymethyl)-2-pyrrolidone (compound 104);  
(5*R*)-*N*-(4-nitrophenyl)-5-(hydroxymethyl)-2-pyrrolidone (compound 105);  
15 (2*R*)-*N*-(4-nitro-3-trifluoromethylphenyl)-2-(dimethyl-*tert*-butylsilyloxymethyl)pyrrolidine (compound 106);  
(2*R*)-*N*-(4-nitro-3-trifluoromethylphenyl)-2-(hydroxymethyl)pyrrolidine (compound 108);  
(2*R*)-*N*-(4-nitrophenyl)-2-(hydroxymethyl)pyrrolidine (compound 109);  
20 (2*R*)-*N*-(3-Trifluoromethyl-4-nitrophenyl)-2-formylpyrrolidine (compound 110);  
(2*R*)-*N*-(3-Trifluoromethyl-4-nitrophenyl)-2-(1-(*S*)-hydroxy-2,2,2-trifluoroethyl)pyrrolidine (compound 111);  
(2*R*)-*N*-(3-Trifluoromethyl-4-nitrophenyl)-2-(1-(*R*)-hydroxy-2,2,2-trifluoroethyl)pyrrolidine (compound 112);  
25 (2*S*)-*N*-(4-nitrophenyl)-2-(hydroxymethyl)pyrrolidine (compound 113);  
(2*R*)-*N*-(4-nitrophenyl)-2-(1-(*S*)-hydroxy-2,2,2-trifluoroethyl)pyrrolidine (compound 114);  
(2*R*)-*N*-(4-nitrophenyl)-2-(*R*)-(1-(*R*)-hydroxy-2,2,2-trifluoroethyl)pyrrolidine (compound 115);  
30 (2*S*)-*N*-(4-nitrophenyl)-2-(1-(*S*)-hydroxy-2,2,2-trifluoroethyl)pyrrolidine (compound 116);

(2*S*)-*N*-(4-nitrophenyl)-2-(1-(*R*)-hydroxy-2,2,2-trifluoroethyl)pyrrolidine  
(compound 117);

3-(3-Methoxyphenyl)-6-nitro-2,7-dimethyl-1*H*-indole (compound 118);

4-[Bis-(2,2,2-trifluoroethyl)amino]-2-chloro-3-methyl-benzonitrile (compound  
5 119);

*cis*-2,5-Dimethyl-1-(4-nitro-3-trifluoromethylphenyl)-pyrrolidine (compound 120);

*trans*-2,5-dimethyl-1-(4-nitro-3-trifluoromethylphenyl)-pyrrolidine (compound  
121);

1-(4-Nitro-3-trifluoromethylphenyl)-piperidine-2-carboxylic acid ethyl ester  
10 (compound 122);

1-(4-Nitro-3-trifluoromethylphenyl)-4-(hydroxymethyl)-piperidine (compound  
123);

(1-(3-trifluoromethyl-4-nitrophenyl)piperidin-2-yl)methyl acetate (compound 124);

4-(2-Hydroxymethyl-pyrrolidin-1-yl)-benzonitrile (compound 125);

15 4-Benzyl-2-hydroxymethyl-1-(4-nitro-3-trifluoromethylphenyl)-pyrrolidine  
(compound 126);

2-Fluoro-4-(2-hydroxymethyl-pyrrolidin-1-yl)-benzonitrile (compound 127);

4-Hydroxy-1-(4-nitrophenyl)-pyrrolidine-2-carboxylic acid ethyl ester (compound  
128);

20 4-Hydroxy-1-(4-nitro-3-trifluoromethylphenyl)-pyrrolidine-2-carboxylic acid ethyl  
ester (compound 129);

5-Hydroxymethyl-1-(4-nitro-3-trifluoromethylphenyl)-pyrrolidin-3-ol (compound  
130);

2-(Aminomethyl)-1-(4-Nitro-3-trifluoromethylphenyl)-pyrrolidine (compound  
25 131);

4-Hydroxy-1-(4-nitrophenyl)-pyrrolidine-2-carboxylic acid (compound 132);

4-Hydroxy-1-(4-nitro-3-trifluoromethylphenyl)-pyrrolidine-2-carboxylic acid  
(compound 133);

pharmaceutically acceptable salts, esters, amides, and prodrugs thereof.

30 63. The compound according to any of claims 1-62, wherein the  
compound is a selective androgen receptor modulator.

64. The selective androgen receptor modulator according to claim 63,

wherein the compound is an androgen receptor agonist.

65. The selective androgen receptor modulator according to claim 63, wherein the compound is an androgen receptor antagonist.

66. The selective androgen receptor modulator according to claim 63,  
5 wherein the compound is an androgen receptor partial agonist.

67. The selective androgen receptor modulator according to claim 63, wherein the compound is a tissue-specific modulator.

68. The compound according to any of claims 1-62, wherein the compound is a selective androgen binding compound.

10 69. A method for modulating an activity of an androgen receptor comprising contacting an androgen receptor with a compound according to any of claims 1-62.

70. The method of claim 68, wherein the androgen receptor is in a cell.

71. A method for identifying a compound that is capable of modulating  
15 an activity of an androgen receptor comprising:

contacting a cell expressing an androgen receptor with a compound according to any of claims 1-62; and

monitoring an effect of the compound upon the cell.

72. A method for treating a patient having a condition susceptible to  
20 treatment with an androgen receptor modulator comprising administering to the patient a pharmaceutical agent comprising a compound according to any of claims 1-62.

73. The method of claim 72, wherein the condition is selected from maintenance of muscle strength and function; reversal or prevention of frailty or  
25 age-related functional decline in the elderly; treatment of catabolic side effects of glucocorticoids; treatment of reduced bone mass, density or growth; treatment of chronic fatigue syndrome; chronic myalgia; treatment of acute fatigue syndrome and muscle loss; accelerating of wound healing; accelerating bone fracture repair; accelerating healing of complicated fractures; in joint replacement; prevention of  
30 post-surgical adhesion formation; acceleration of tooth repair or growth; maintenance of sensory function; treatment of periodontal disease; treatment of wasting secondary to fractures and treatment of wasting in connection with chronic

obstructive pulmonary disease, treatment of wasting in connection with chronic liver disease, treatment of wasting in connection with AIDS, cancer cachexia, burn and trauma recovery, chronic catabolic state, eating disorders and chemotherapy; treatment of cardiomyopathy; treatment of thrombocytopenia; treatment of growth retardation in connection with Crohn's disease; treatment of short bowel syndrome; 5 treatment of irritable bowel syndrome; treatment of inflammatory bowel disease; treatment of Crohn's disease and ulcerative colitis; treatment of complications associated with transplantation; treatment of physiological short stature including growth hormone deficient children and short stature associated with chronic 10 illness; treatment of obesity and growth retardation associated with obesity; treatment of anorexia; treatment of hypercortisolism and Cushing's syndrome; Paget's disease; treatment of osteoarthritis; induction of pulsatile growth hormone release; treatment of osteochondrodysplasias; treatment of depression, nervousness, irritability and stress; treatment of reduced mental energy and low 15 self-esteem; improvement of cognitive function; treatment of catabolism in connection with pulmonary dysfunction and ventilator dependency; treatment of cardiac dysfunction; lowering blood pressure; protection against ventricular dysfunction or prevention of reperfusion events; treatment of adults in chronic dialysis; reversal or slowing of the catabolic state of aging; attenuation or reversal 20 of protein catabolic responses following trauma; reducing cachexia and protein loss due to chronic illness; treatment of hyperinsulinemia; treatment of immunosuppressed patients; treatment of wasting in connection with multiple sclerosis or other neurodegenerative disorders; promotion of myelin repair; maintenance of skin thickness; treatment of metabolic homeostasis and renal 25 homeostasis; stimulation of osteoblasts, bone remodeling and cartilage growth; regulation of food intake; treatment of insulin resistance; treatment of insulin resistance in the heart; treatment of hypothermia; treatment of congestive heart failure; treatment of lipodystrophy; treatment of muscular atrophy; treatment of musculoskeletal impairment; improvement of the overall pulmonary function; 30 treatment of sleep disorders; and the treatment of the catabolic state of prolonged critical illness; treatment of hirsutism, acne, seborrhea, androgenic alopecia, anemia, hyperpilosity, benign prostate hypertrophy, adenomas and neoplasies of



the prostate and malignant tumor cells containing the androgen receptor; osteosarcoma; hypercalcemia of malignancy; metastatic bone disease; treatment of spermatogenesis, endometriosis and polycystic ovary syndrome; counteracting preeclampsia, eclampsia of pregnancy and preterm labor; treatment of premenstrual syndrome; treatment of vaginal dryness; age related decreased testosterone levels in men, male menopause, hypogonadism, male hormone replacement, male and female sexual dysfunction, male and female contraception, hair loss, Reaven's Syndrome and the enhancement of bone and muscle strength.

74. A method according to claim 72, wherein the patient has a condition selected from the group of acne, male-pattern baldness, wasting diseases, hirsutism, hypogonadism, osteoporoses, infertility, impotence and cancer.

75. A method for stimulating hematopoiesis in a patient, comprising administering to the patient a pharmaceutical agent comprising a compound according to any of claims 1-62.

76. A method of contraception, comprising administering to patient a pharmaceutical agent comprising a compound according to any of claims 1-62.

77. A method of improving athletic performance in an athlete, comprising administering to the athlete a pharmaceutical agent comprising a compound according to any of claims 1-62.

78. A pharmaceutical composition, comprising a compound of claims 1-62 and a pharmaceutical acceptable carrier.

79. A pharmaceutical composition according to claim 78 for use in treating a condition selected from the group of acne, male-pattern baldness, wasting diseases, hirsutism, hypogonadism, osteoporoses, infertility, impotence, and cancer.

80. A compound of any of claims 1-62 for use in the treatment of a disease or disorder that is modulated by androgen receptor activity.

81. A use of a compound of any of claims 1-62 for the formulation of a medicament for the treatment of a disease or disorder that is modulated by androgen receptor.

82. An article of manufacture, comprising packaging material, a compound of any of claims 1-62 which is effective for modulating the activity of

- androgen receptor, or for treatment, prevention or amelioration of one or more symptoms of androgen receptor mediated diseases or disorders, or diseases or disorders in which androgen receptor activity is implicated, within the packaging material, and a label that indicates that the compound or composition, or
- 5 pharmaceutically acceptable derivative thereof, is used for modulating the activity of androgen receptor or for treatment, prevention or amelioration of one or more symptoms of androgen receptor mediated diseases or disorders, or diseases or disorders in which androgen receptor activity is implicated.